CLAIMS

1. A conjugate that comprises a) at least one compound (CARGO) to be delivered into or across a biological barrier; b) a delivery-enhancing transporter (SHUTTLE) comprising a β-homolysine polymer comprising at least 4 β-homolysine residues; c) optionally a linker (LINKER) between the components a) and b); and d) optionally a labelling unit (A); or a salt thereof.

2. A conjugate according to claim 1 having a structure selected from the group of structures (I) to (V),

A–SHUTTLE–CARGO–(CO)-Y (I),

A–CARGO–SHUTTLE–(CO)-Y (II),

SHUTTLE-LINKER-CARGO (III), and

SHUTTLE-LINKER-CARGO–(CO)-Y (IV),

wherein Y is OR or NR_1R_2 and wherein R, R_1 and R_2 independently of each other represent hydrogen or alkyl; or a salt thereof.

3. A conjugate according to claim 1 or 2 that comprises a delivery-enhancing transporter comprising between 4 and 25 β-homolysine residues; or a salt thereof.

4. A conjugate according to claim 1 or 2 that comprises a delivery-enhancing transporter comprising between 5 and 10 β-homolysine residues; or a salt thereof.

5. A conjugate according to any one of claims 1 to 4 wherein A is selected from biotinyl, fluorescein-5-yl and fluorescein-5-yl-NH-C(S)-NH-CH_2-D_r-E_u-G_p-CH_2-C(O)-, wherein D, E and G are independently of each other selected from CH_2, O or NH, under the proviso that not two heteroatoms are bonded to each other, and p, r and u are independently of each other an integer between 0 and 10; or a salt thereof.

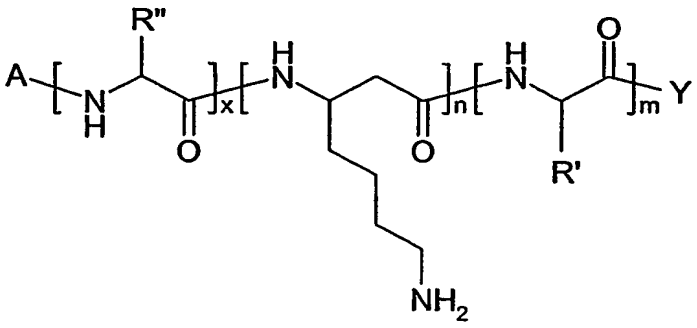
6. A conjugate according to any one of claims 1 to 5 wherein the CARGO is a biomolecule selected from the group consisting of oligonucleotides, peptides and proteins; or a salt thereof.

7. A conjugate according to any one of claims 1 to 5 wherein the CARGO is an antibody; or a salt thereof.

8. A conjugate according to any one of claims 1 to 5 wherein the CARGO is pharmacologically active compound; or a salt thereof.

9. A conjugate according to any one of claims 1 to 5 wherein the CARGO is a diagnostic imaging or contrast agent; or a salt thereof.

10. A conjugate according to claim 1 represented by formula V



(V)

wherein

A represents an oligonucleotide, peptide, protein, a diagnostic imaging or contrast agent, H, biotinyl, fluorescein-5-yl-NH-C(S)- or fluorescein-5-yl-NH-C(S)-NH-CH_2-D_r-E_u-G_p-CH_2-C(O)-, wherein D, E and G are independently of each other selected from CH_2, O or NH, under the proviso that not two heteroatoms are bonded to each other, and p, r and u are independently of each other an integer between 0 and 10;

R" represents the side chain of a natural amino acid;

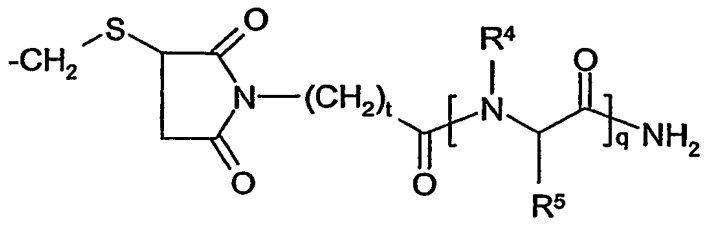
x is 0, 1 or 2;

n is an integer between 4 and 10;

m is an integer between 0 and 10;

Y represents OR or NR_1R_2 and wherein R, R_1 and R_2 are independently of each other hydrogen or alkyl, and

R' represents the side chain of a natural amino acid or a radical of subformula Va,



(Va)

wherein

t is an integer from 1 up to and including 10,

q is an integer from 1 up to and including 15, and

R_4 is the side chain of a natural amino acid and R_5 is hydrogen or

R_4 and R_5 together represent $-(CH_2)_3-$;

or a salt thereof.

11. A conjugate according to claim 10 of formula V wherein

A represents H, biotinyl or fluorescein-5-yl-NH-C(S)-NH-CH_2-D_r-E_u-G_p-CH_2-C(O)-,

wherein D, E and G are independently of each other selected from CH_2, O or NH, under the proviso that not two heteroatoms are bonded to each other, and p, r and u are independently of each other an integer between 0 and 10;

R" represents H or CH_2OH;

x is 0, 1 or 2;

n is 5, 6, 7 or 8;

m is 0 or 1; and

Y represents OR or NR_1R_2 and wherein R, R_1 and R_2 are independently of each other hydrogen or alkyl, and

R' represents the side chain of a natural amino acid or a radical of subformula Va, wherein

p is an integer from 1 up to and including 10,

q is an integer from 1 up to and including 15, and

R_4 is the side chain of a natural amino acid and R_5 is hydrogen or

R_4 and R_5 together represent $-(CH_2)_3-$;

or a salt thereof.

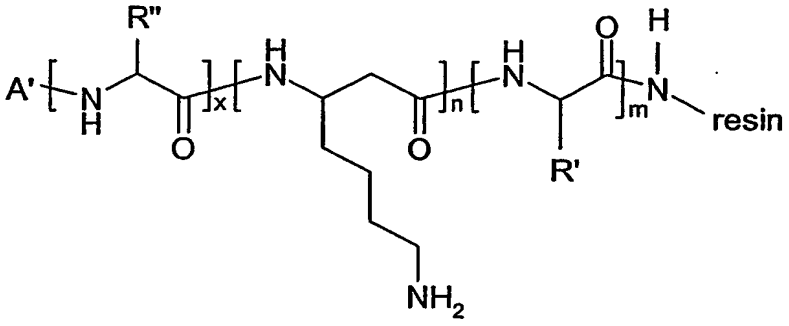
12. A pharmaceutical composition comprising a conjugate according to any one of claims 1 to 9 together with at least one pharmaceutically acceptable carrier.

13. A conjugate according to any one of claims 1 to 9 or a pharmaceutically acceptable salt of such a conjugate for use in a method for the treatment of the human or animal body.

14. A method for delivery of a compound (CARGO) into or across a biological barrier, the method comprising contacting the barrier with a conjugate according to any one of claims 1 to 9.

15. The method according to claim 14 wherein the biological barrier is skin or the blood brain barrier.

16. A process for the preparation of a conjugate of formula V wherein
A is fluorescein-5-yl-NH-C(S)- or fluorescein-5-yl-NH-C(S)-NH-CH_2-D_r-E_u-G_p-CH_2-C(O)-, wherein D, E and G are independently of each other selected from CH_2, O or NH, under the proviso that not two heteroatoms are bonded to each other, and p, r and u are independently of each other an integer between 0 and 10, Y is NH_2, and the other symbols and radicals have the meaning as defined in claim 10 for a conjugate of formula V, wherein a peptide of the formula VI



(VI)

wherein A' represents H, or H_2N-CH_2-D_r-E_u-G_p-CH_2-C(O)-, wherein D, E and G are independently of each other selected from CH_2, O or NH, under the proviso that not two heteroatoms are bonded to each other, and p, r and u are independently of each other an integer between 0 and 10; the resin is attached to the nitrogen atom with a bond that can be hydrolysed under reaction conditions that do not result in the hydrolysis of peptide bonds; and the other symbols and radicals have the meaning as defined in claim 10 for a conjugate of formula V,
is first reacted with isothiocyanato fluorescein and afterwards cleaved from the resin,

wherein the starting compound of formula VI may also be present with functional groups in protected form, if necessary, and/or in the form of salts, provided the reaction in salt form is possible;

wherein any protecting groups in a protected derivative of a conjugate of the formula V are removed;

and, if so desired, a free conjugate of formula V is converted into a salt, an obtainable salt of a conjugate of formula V is converted into the free conjugate or another salt, and/or a mixture of isomeric conjugates of formula V is separated into the individual isomers.